STN-Structure Search 1/8/07

10/574,536

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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:631033 CAPLUS
DOCUMENT NUMBER: 145:103956
TITLE: Preparation of peptides as Myd88 homodimerization inhibitors
INVENTOR(S): Carminati, Paolo; Gallo, Grazia; Fanto', Nicola; Ruggiero, Vito; Sassano, Marica; Mastroianni, Domenico
PATENT ASSIGNEE(S): Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006067091	A1	20060629	WO 2005-EP56847	20051216

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

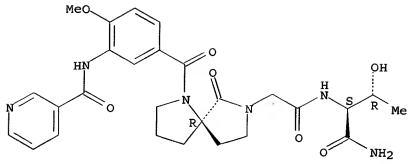
PRIORITY APPLN. INFO.: EP 2004-425929 A 20041220
OTHER SOURCE(S): MARPAT 145:103956

AB The invention relates to peptidic and peptidomimetic compds. AA1-AA2-AA3-AA4-AA5-AA6-AA7 [AA1-AA7 are L- or D-amino acid residues (defined), at least one of which is not a natural amino acid (if all are natural amino acids, the sequence is reversed); AA1, AA2, AA7 may be absent; AA2-AA3-AA4 may be a spacer group; AA5-AA6 may be a β-turn mimetic; a disulfide bond may exist between AA4 = AA7 = Cys or D-Cys; the N-terminal amine group may be acylated and the terminal carboxyl may be in the acid or amide form] or their pharmaceutically-acceptable salts, which mimic a particular protein portion of MyD88, preventing its homodimerization and interfering with its interaction with the TIR domain. The compds. are useful as medicaments, particularly for the treatment of inflammatory and autoimmune diseases. Thus, Ac-D-Thr-Gly-D-Pro-D-Leu-D-Val-D-Asp-D-Arg-NH2 was prepared by the solid-phase method and assayed for inhibition of homodimerization of Myd88 (30% in the NF-kB assay).

IT 894787-03-2P 894787-12-3P 894787-35-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as Myd88 homodimerization inhibitors)

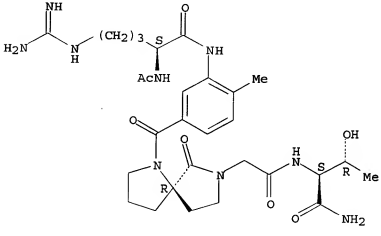
RN 894787-03-2 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2R)-1-(aminocarbonyl)-2-hydroxypropyl]-1-[4-methoxy-3-[(3-pyridinylcarbonyl)amino]benzoyl]-6-oxo-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



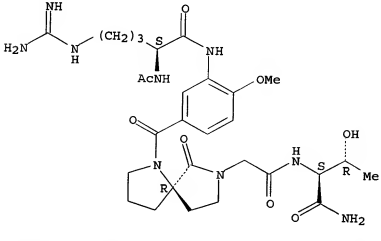
RN 894787-12-3 CAPLUS
CN L-Threoninamide, N2-acetyl-L-arginyl-3-amino-4-methylbenzoyl-(5R)-6-oxo-1,7-diazaspiro[4.4]nonane-7-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 894787-35-0 CAPLUS
CN L-Threoninamide, N2-acetyl-L-arginyl-3-amino-4-methoxybenzoyl-(5R)-6-oxo-1,7-diazaspiro[4.4]nonane-7-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

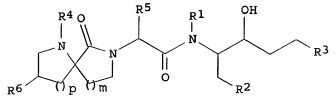
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:347017 CAPLUS
DOCUMENT NUMBER: 142:411343
TITLE: Preparation of substituted spirocyclic lactams as inhibitors of proteinase BACE1
INVENTOR(S): Auberson, Yves; Glatthar, Ralf; Salter, Rhys; Simic, Oliver; Tintelnot-Blomley, Marina
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035535	A1	20050421	WO 2004-EP11054	20041004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004279553	A1	20050421	AU 2004-279553	20041004
CA 2540249	A1	20050421	CA 2004-2540249	20041004
EP 1670803	A1	20060621	EP 2004-765790	20041004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004015015	A	20061107	BR 2004-15015	20041004
CN 1863804	A	20061115	CN 2004-80028840	20041004

PRIORITY APPLN. INFO.:
GB 2003-23204 A 20031003
WO 2004-EP11054 W 20041004

OTHER SOURCE(S): MARPAT 142:411343
GI



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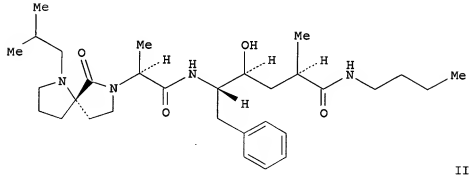


II

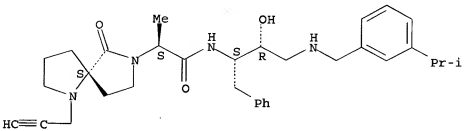
AB Title compds. I [R1 = H, alkyl; R2 = (cyclo)alkyl, etc.; R3 = alkyl, alkylamino, etc.; R4 = H, alkyl, alkoxy, etc.; R5 = H, alkyl; R6 = H, OH, halo; m, p = 1-2] are prepared For instance, II is prepared by the coupling of the saponified (2S)-2-[(5S)-1-isobutyl-6-oxo-1,7-diazaspiro[4.4]nonan-7-yl]propionic acid Me ester and (2R,4S,5S)-5-amino-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide (CH2Cl2, HOBt, Et3N, EDCI). In at least one assay of proteinase BACE1, BACE2, cathepsin D and inhibition of amyloid peptide, example compds. show activity at or below 20 μM and are useful in the treatment of vascular disorders.

IT 850426-73-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted spirocyclic lactams as inhibitors of proteinase BACE1)

RN 850426-73-2 CAPLUS

CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2R)-2-hydroxy-3-[[[3-(1-methylethyl)phenyl]methyl]amino]-1-(phenylmethyl)propyl]-α-methyl-6-oxo-1-(2-propynyl)-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



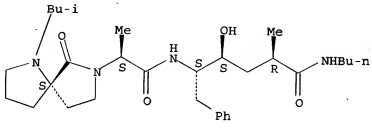
IT 850426-28-7P, (2R,4S,5S)-4-Hydroxy-5-[[(2S)-2-[(5S)-1-isobutyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-2-methyl-6-phenylhexanoic acid butylamide 850426-35-6P, (2R,4S,5S)-5-[[(2S)-2-[(5S)-1-Cyclopropylmethyl-6-oxo-1,7-diazaspiro[4.4]nonan-7-yl]propionyl]amino]-4-

hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-36-7P, (2R,4S,5S)-5-[[(2S)-2-[(5S)-1-Propyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-37-8P, (2R,4S,5S)-5-[[(2S)-2-[(5S)-1-Phenyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-38-9P, (2R,4S,5S)-5-[[(2S)-2-[(5R)-1-Phenyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-39-0P, (2R,4S,5S)-4-Hydroxy-2-methyl-5-[[(2S)-2-[(5S)-6-oxo-1-propyl-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-6-phenylhexanoic acid (2,2-dimethylpropyl)amide 850426-40-3P, (2R,4S,5S)-5-[[(2S)-2-[(5S)-1-(2,2-Dimethylpropyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-41-4P, (2R,4S,5S)-4-Hydroxy-5-[[(2S)-2-[(5S)-1-(3-methoxypropyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propanoyl]amino]-2-methyl-6-phenylhexanoic acid butylamide 850426-42-5P, (2R,4S,5S)-4-Hydroxy-5-[[(2S)-2-[(5R)-1-(3-methoxypropyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-2-methyl-6-phenylhexanoic acid butylamide 850426-43-6P, (2R,4S,5S)-5-[[(2S)-2-[(5R)-1-Propyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-44-7P, (2R,4S,5S)-4-Hydroxy-5-[[(2S)-2-[(5S)-1-(2-fluoroethyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-2-methyl-6-phenylhexanoic acid butylamide 850426-45-8P, (2R,4S,5S)-5-[[(2S)-2-[(5R)-1-Allyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-50-5P, (2S,4R,5R)-5-[[(2S)-2-[(5R)-1-Allyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-51-6P, (2S,4R,5R)-5-[[(2S)-2-[(5S)-1-Allyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-52-7P, (2S,4R,5R)-4-Hydroxy-5-[[(2S)-2-[(5R)-1-(4-hydroxybutyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-2-methyl-6-phenylhexanoic acid butylamide 850426-55-0P, (2R,4S,5S)-4-Hydroxy-5-[[(2S)-2-[(5S)-1-(4-hydroxybutyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-2-methyl-6-phenylhexanoic acid butylamide 850426-59-4P, (2R,4S,5S)-5-[[(2S)-2-[(3S,5S)-3-Fluoro-6-oxo-1-propyl-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-63-0P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl]-2-[(5S)-6-oxo-1-propyl-1,7-diazaspiro[4.4]non-7-yl]propionamide 850426-67-4P 850426-68-5P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl]-2-[(3S,5S)-1-cyclopropylmethyl-3-fluoro-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propaneamide 850426-69-6P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl]-2-[(3S,5S)-1-propyl-3-fluoro-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionamide 850426-70-9P, (2S)-N-[(1S,2R)-1-Benzyl-3-[1-(3-bromophenyl)cyclopropylamino]-2-hydroxypropyl]-2-[(3S,5S)-1-cyclopropylmethyl-3-fluoro-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propaneamide 850426-71-0P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl]-2-[(5S)-1-(2-fluoroethyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propaneamide 850426-72-1P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl]-2-[(5S)-1-cyclopropylmethyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propaneamide 850426-76-5P 850552-36-2P 850552-37-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted spirocyclic lactams as inhibitors of proteinase BACE1)

RN 850426-28-7 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(butylamino)-2-

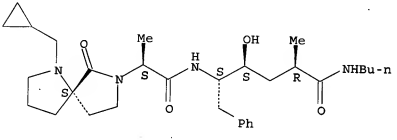
hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-α-methyl-1-(2-methylpropyl)-6-oxo-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



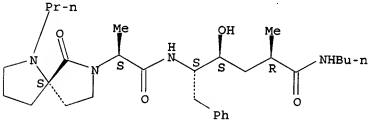
RN 850426-35-6 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-1-(cyclopropylmethyl)-α-methyl-6-oxo-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



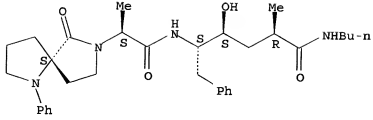
RN 850426-36-7 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-α-methyl-6-oxo-1-propyl-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



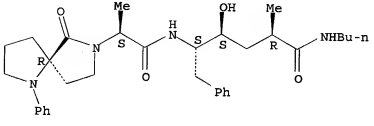
RN 850426-37-8 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-α-methyl-6-oxo-1-phenyl-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



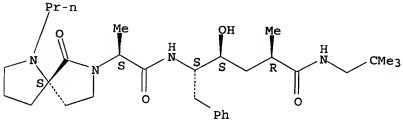
RN 850426-38-9 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-α-methyl-6-oxo-1-phenyl-, (αS,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



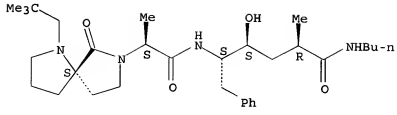
RN 850426-39-0 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-[(2,2-dimethylpropyl)amino]-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-α-methyl-6-oxo-1-propyl-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



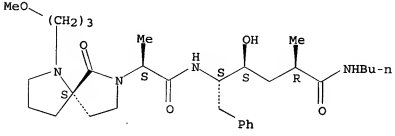
RN 850426-40-3 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-1-(2,2-dimethylpropyl)-α-methyl-6-oxo-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



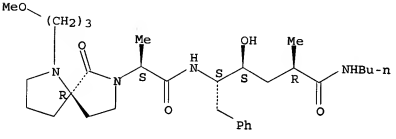
RN 850426-41-4 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-1-(3-methoxypropyl)-α-methyl-6-oxo-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



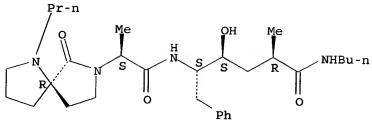
RN 850426-42-5 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-1-(3-methoxypropyl)-α-methyl-6-oxo-, (αS,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



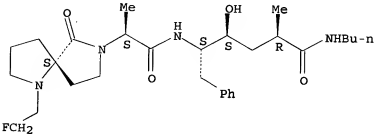
RN 850426-43-6 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-α-methyl-6-oxo-1-propyl-, (αS,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



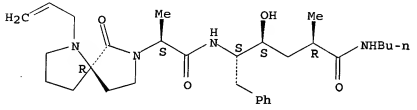
RN 850426-44-7 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-1-(2-fluoroethyl)-α-methyl-6-oxo-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



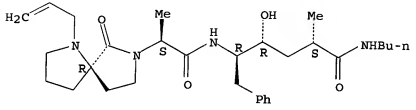
RN 850426-45-8 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-α-methyl-6-oxo-1-(2-propenyl)-, (αS,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



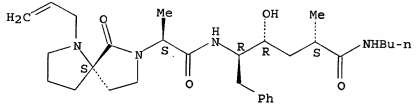
RN 850426-50-5 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1R,2R,4S)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-α-methyl-6-oxo-1-(2-propenyl)-, (αS,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



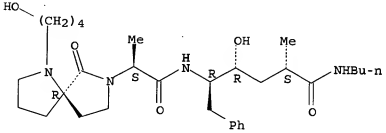
RN 850426-51-6 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1R,2R,4S)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-α-methyl-6-oxo-1-(2-propenyl)-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



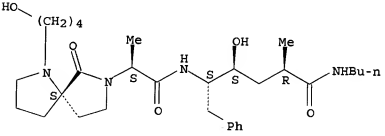
RN 850426-52-7 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1R,2R,4S)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-1-(4-hydroxybutyl)-α-methyl-6-oxo-, (αS,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



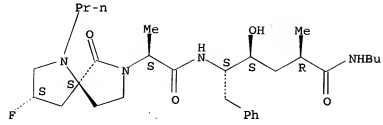
RN 850426-55-0 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-1-(4-hydroxybutyl)-α-methyl-6-oxo-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



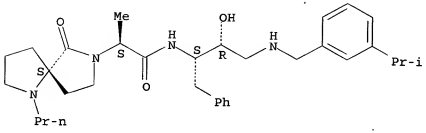
RN 850426-59-4 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-3-fluoro-α-methyl-6-oxo-1-propyl-, (αS,3S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



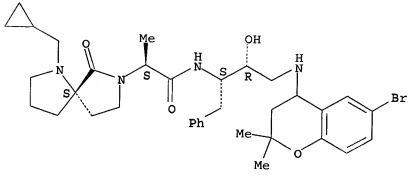
RN 850426-63-0 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2R)-2-hydroxy-3-[[[3-(1-methylethyl)phenyl]methyl]amino]-1-(phenylmethyl)propyl]-α-methyl-6-oxo-1-propyl-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



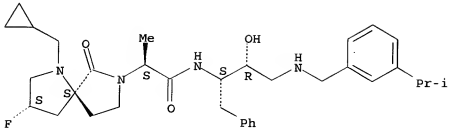
RN 850426-67-4 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2R)-3-[(6-bromo-3,4-dihydro-2,2-dimethyl-2H-1-benzopyran-4-yl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-1-(cyclopropylmethyl)-α-methyl-6-oxo-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



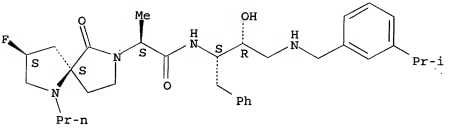
RN 850426-68-5 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, 1-(cyclopropylmethyl)-3-fluoro-N-[(1S,2R)-2-hydroxy-3-[[[3-(1-methylethyl)phenyl]methyl]amino]-1-(phenylmethyl)propyl]-α-methyl-6-oxo-, (αS,3S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



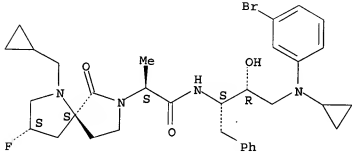
RN 850426-69-6 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, 3-fluoro-N-[(1S,2R)-2-hydroxy-3-[[[3-(1-methylethyl)phenyl]methyl]amino]-1-(phenylmethyl)propyl]-α-methyl-6-oxo-1-propyl-, (αS,3S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



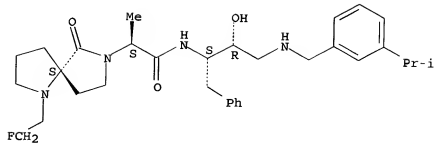
RN 850426-70-9 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2R)-3-[(3-bromophenyl)cyclopropylamino]-2-hydroxy-1-(phenylmethyl)propyl]-1-(cyclopropylmethyl)-3-fluoro-α-methyl-6-oxo-, (αS,3S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



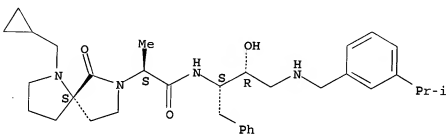
RN 850426-71-0 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, 1-(2-fluoroethyl)-N-[(1S,2R)-2-hydroxy-3-[[[3-(1-methylethyl)phenyl]methyl]amino]-1-(phenylmethyl)propyl]-α-methyl-6-oxo-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



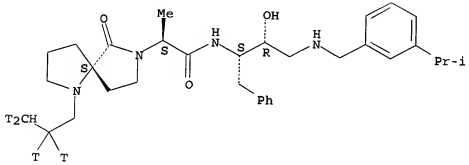
RN 850426-72-1 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, 1-(cyclopropylmethyl)-N-[(1S,2R)-2-hydroxy-3-[[[3-(1-methylethyl)phenyl]methyl]amino]-1-(phenylmethyl)propyl]-α-methyl-6-oxo-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



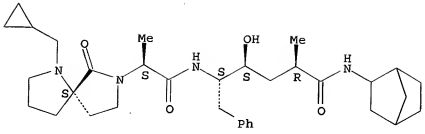
RN 850426-76-5 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2R)-2-hydroxy-3-[[[3-(1-methylethyl)phenyl]methyl]amino]-1-(phenylmethyl)propyl]-α-methyl-6-oxo-1-(propyl-2,2,3,3-t4)-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



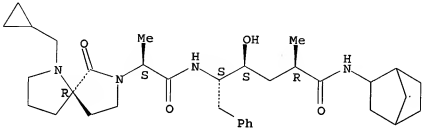
RN 850552-36-2 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(bicyclo[2.2.1]hept-2-ylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-1-(cyclopropylmethyl)-α-methyl-6-oxo-, (αS,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



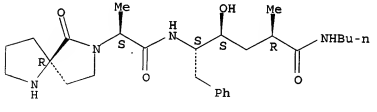
RN 850552-37-3 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(bicyclo[2.2.1]hept-2-ylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-1-(cyclopropylmethyl)-α-methyl-6-oxo-, (αS,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 850426-46-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted spirocyclic lactams as inhibitors of proteinase BACE1)
RN 850426-46-9 CAPLUS
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2S,4R)-5-(butylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-α-methyl-6-oxo-, monohydrochloride, (αS,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d re

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
RE
(1) Arditi, M; US 2003148986 A1 2003
(2) Bartfai, T; PROCEEDINGS OF THE NATIONAL ACADEMY OF SCIENCES OF THE UNITED STATES OF AMERICA 2003, V100(13), P7971 CAPLUS
(3) Cheung, H; J AM CHEM SOC 1964, V86(19), P4200 CAPLUS
(4) Loiarro, M; THE JOURNAL OF BIOLOGICAL CHEMISTRY 2005, V280(16), P15809 CAPLUS
(5) Ulevitch, R; NATURE REVIEWS IMMUNOLOGY 2004, V4(7), P512 CAPLUS
(6) Yale University; WO 02090520 A 2002 CAPLUS

=> d his

(FILE 'HOME' ENTERED AT 14:22:29 ON 08 JAN 2007)

FILE 'REGISTRY' ENTERED AT 14:22:48 ON 08 JAN 2007
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 33 S L1 FULL

FILE 'CAPLUS' ENTERED AT 14:23:20 ON 08 JAN 2007
L4 2 S L3

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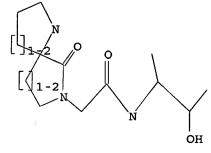
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:631033 CAPLUS
DOCUMENT NUMBER: 145:103956
TITLE: Preparation of peptides as Myd88 homodimerization inhibitors
INVENTOR(S): Carminati, Paolo; Gallo, Grazia; Fanto', Nicola; Ruggiero, Vito; Sassano, Marica; Mastroianni, Domenico
PATENT ASSIGNEE(S): Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2006067091 A1 20060629 WO 2005-EP56847 20051216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2004-425929 A 20041220
OTHER SOURCE(S): MARPAT 145:103956

AB The invention relates to peptidic and peptidomimetic compds. AA1-AA2-AA3-AA4-AA5-AA6-AA7 [AA1-AA7 are L- or D-amino acid residues (defined), at least one of which is not a natural amino acid (if all are natural amino acids, the sequence is reversed); AA1, AA2, AA7 may be absent; AA2-AA3-AA4 may be a spacer group; AA5-AA6 may be a β-turn mimetic; a disulfide bond may exist between AA4 = AA7 = Cys or D-Cys; the N-terminal amine group may be acylated and the terminal carboxyl may be in the acid or amide form] or their pharmaceutically-acceptable salts, which mimic a particular protein portion of MyD88, preventing its homodimerization and interfering with its interaction with the TIR domain. The compds. are useful as medicaments, particularly for the treatment of inflammatory and autoimmune diseases. Thus, Ac-D-Thr-Gly-D-Pro-D-Leu-D-Val-D-Asp-D-Arg-NH2 was prepared by the solid-phase method and assayed for inhibition of homodimerization of Myd88 (30% in the NF-kB assay).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:347017 CAPLUS
DOCUMENT NUMBER: 142:411343
TITLE: Preparation of substituted spirocyclic lactams as inhibitors of proteinase BACE1
INVENTOR(S): Auberson, Yves; Glatthar, Ralf; Salter, Rhys; Simic, Oliver; Tintelnot-Blomley, Marina
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035535	A1	20050421	WO 2004-EP11054	20041004
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004279553	A1	20050421	AU 2004-279553	20041004
CA 2540249	A1	20050421	CA 2004-2540249	20041004

EP 1670803	A1	20060621	EP 2004-765790		20041004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
BR 2004015015	A	20061107	BR 2004-15015		20041004
CN 1863804	A	20061115	CN 2004-80028840		20041004
PRIORITY APPLN. INFO.:			GB 2003-23204	A	20031003
			WO 2004-EP11054	W	20041004
OTHER SOURCE(S):	MARPAT 142:411343				

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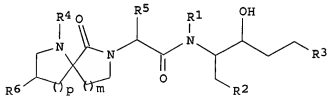

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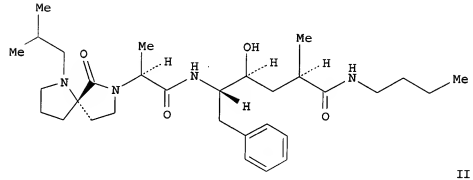

II

AB Title compds. I [R1 = H, alkyl; R2 = (cyclo)alkyl, etc.; R3 = alkyl, alkylamino, etc.; R4 = H, alkyl, alkoxy, etc.; R5 = H, alkyl; R6 = H, OH, halo; m, p = 1-2] are prepared For instance, II is prepared by the coupling of the saponified (2S)-2-[(5S)-1-isobutyl-6-oxo-1,7-diazaspiro[4.4]nonan-7-yl]propionic acid Me ester and (2R,4S,5S)-5-amino-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide (CH2Cl2, HOBt, Et3N, EDCI). In at least one assay of proteinase BACE1, BACE2, cathepsin D and inhibition of amyloid peptide, example compds. show activity at or below 20 μM and are useful in the treatment of vascular disorders.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 14:22:48 ON 08 JAN 2007
L1 STRUCTURE UPLOADED
L2 0 S L1
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FILE 'CAPLUS' ENTERED AT 14:23:20 ON 08 JAN 2007
L4 2 S L3

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L5                STRUCTURE UPLOADED
L6              0 S L5
L7             33 S L5 FULL

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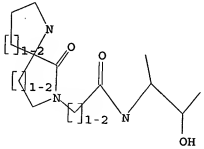

Structure attributes must be viewed using STN Express query preparation.

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